

PROPARGYL GLYCINE AMINO PROPARGYL DIOL COMPOUNDS FOR TREATMENT OF HYPERTENSION

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ABSTRACT

Compounds characterized generally as propargyl glycine amino propargyl diol derivatives are useful as renin inhibitors for the treatment of hypertension.

Compounds of particular interest are those of Formula I

$$R_{1} \xrightarrow[R_{9}]{R_{11}} \xrightarrow[R_{2}]{R_{12}} \xrightarrow[R_{2}]{R_{3}} \xrightarrow[N]{R_{4}} \xrightarrow[R_{5}]{R_{5}} \xrightarrow[R_{6}]{R_{6}} \xrightarrow[N]{R_{10}} \xrightarrow[R_{7}]{OH}$$

wherein A is selected from CO and SO2 wherein X is selected from oxygen atom and methylene; wherein each of R₁ and R₉ is a group independently selected from hydrido, methyl, ethyl, n\propyl, isopropyl, benzyl, b, b, b-trifluoroethyl, t-butyloxycarbonyl and methoxymethylcarbonyl, and wherein the nitrogen atom to which R₁ and R₉ are attached may be combined with oxygen to form an N-oxide; wherein R2\is selected from hydrido, methyl, ethyl and isopropyl; wherein R3 is selected from benzyl, cyclohexylmethyl, phenethyl, imidazolemethyl, pyridylmethyl and 2-pyridylethyl;\wherein each of R5 and R8 is independently propargyl or a\propargyl-containing moiety; wherein R7 is cyclohexylmethyl; wherein each of R4 and R6 is independently selected from hydrido and methyl; wherein each of R11 and R12 is independently selected from hydrido, alkyl and phenyl, wherein m is zero; and wherein n is a number selected from zero through three; or a pharmaceutically-acceptable salt thereof.

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